	Type	L #	Hits	Search Text	DBs	Time Stamp	Comments	Error Definition	Errors
1	BRS	L1	5	aerothricin	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:39			0
2	BRS	L2	1190	mycoses	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:41			0
3	BRS	L3	2	aerothricin same mycoses	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:41			0
4	BRS	L4	2	kohchi adj masami.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:42			0
5	BRS	L5	7	masubuchi adj kazunao.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:42			0
6	BRS	L6	241	murata adj takeshi.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:42			0
7	BRS	L7	156	okada adj takehiro.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:43			0
8	BRS	L8	35	shimma adj nobuo.in.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:43			0

	Type	L #	Hits	Search Text	DBs	Time Stamp	Comments	Error Definition	Errors
9	BRS	L9	5	(4 or 5 r 6 or 7 or 8) and 1	USPAT; US-PGPUB; EPO; JPO; DERWENT	2004/01/06 06:44			0

```
FILE 'MEDLINE' ENTERED AT 06:48:49 ON 06 JAN 2004

FILE 'CAPLUS' ENTERED AT 06:48:49 ON 06 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'BIOSIS' ENTERED AT 06:48:49 ON 06 JAN 2004
COPYRIGHT (C) 2004 BIOLOGICAL ABSTRACTS INC.(R)

FILE 'EMBASE' ENTERED AT 06:48:49 ON 06 JAN 2004
COPYRIGHT (C) 2004 Elsevier Inc. All rights reserved.

FILE 'SCISEARCH' ENTERED AT 06:48:49 ON 06 JAN 2004
COPYRIGHT 2004 THOMSON ISI

FILE 'AGRICOLA' ENTERED AT 06:48:49 ON 06 JAN 2004

=> s aerothricin
L1          16 AEROTHRICIN

=> s mycoses
L2       21346 MYCOSES

=> s l1 (p) l2
L3           3 L1 (P) L2

=> duplicate remove l3
DUPLICATE PREFERENCE IS 'CAPLUS, EMBASE, SCISEARCH'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L3
L4            1 DUPLICATE REMOVE L3 (2 DUPLICATES REMOVED)

=> d l4 1 ibib abs

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2004 ACS on STN  DUPLICATE 1
ACCESSION NUMBER:         2002:136646  CAPLUS
TITLE:                    Aerothricins: a new class of .beta.-glucan inhibitors
AUTHOR(S):                Anon.
SOURCE:                   Expert Opinion on Therapeutic Patents (2002), 12(2),
                          315-318
                          CODEN: EOTPEG; ISSN: 1354-3776
PUBLISHER:                Ashley Publications Ltd.
DOCUMENT TYPE:            Journal; Miscellaneous
LANGUAGE:                 English
AB   Two patent applications assigned to Basilea Pharmaceutica describe
       ***aerothricin***   natural product mols. and a large series of
     semi-synthetic mols. claimed as antifungal drugs that inhibit the
     .beta.-1,3-D-glucan component of the cell wall.  The semi-synthetic mols.,
     considerably larger than the previous hexapeptide echinocandin and
     pneumocandin mols., contain various basic amino acids and a large series
     of aminoalkyl groups and are presumably more water-sol. than the natural
     product   ***aerothricins***   .  Overall, the antifungal in vitro
     susceptibility results compared favorably with other .beta.-glucan
     inhibitors.  Results are also presented for select compds. in mouse models
     of   ***mycoses***   that indicate good activity.  One of the applications
     is largely focused on formulations of pharmacol.-active cyclic peptides
     with absorption enhancers delivered by the intranasal route and provides
     pharmacokinetic data in cynomolgous monkeys in support of the claims.
REFERENCE COUNT:          15    THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> s kohchi masubuchi/au
L5           0 KOHCHI MASUBUCHI/AU

=> s kohchi masami/au
L6          10 KOHCHI MASAMI/AU

=> s masubuchi kazunao/au
L7          18 MASUBUCHI KAZUNAO/AU

=> s murata takeshi/au
L8         202 MURATA TAKESHI/AU

=> s okada takehiro/au
```

```
L9          51 OKADA TAKEHIRO/AU

=> s shimma nobuo/au
L10        100 SHIMMA NOBUO/AU

=> s l6 or l7 or l8 or l9 or l10
L11        340 L6 OR L7 OR L8 OR L9 OR L10

=> s l11 and l1
L12          4 L11 AND L1

=> duplicate remove l12
DUPLICATE PREFERENCE IS 'CAPLUS, BIOSIS'
KEEP DUPLICATES FROM MORE THAN ONE FILE?  Y/(N):n
PROCESSING COMPLETED FOR L12
L13          4 DUPLICATE REMOVE L12 (0 DUPLICATES REMOVED)

=> 'd l13 1-4 ibib abs
'D IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l13 1-4 ibib abs

L13  ANSWER 1 OF 4  BIOSIS  COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER:   2003:67242  BIOSIS
DOCUMENT NUMBER:    PREV200300067242
TITLE:              Cyclic compounds.
AUTHOR(S):          Aoki, Masahiro [Inventor, Reprint Author];   ***Kohchi,***
  ***                    Masami***   [Inventor];   ***Masubuchi, Kazunao***
                    [Inventor]; Mizuguchi, Eisaku [Inventor];   ***Murata,***
  ***                    Takeshi***   [Inventor]; Ohkuma, Hiroaki [Inventor];
                      ***Okada, Takehiro***   [Inventor]; Sakaitani, Masahiro
                    [Inventor];   ***Shimma, Nobuo***   [Inventor]; Watanabe,
                    Takahide [Inventor]; Yanagisawa, Mieko [Inventor]; Yasuda,
                    Yuri [Inventor]
CORPORATE SOURCE:   Chigasaki, Japan
                    ASSIGNEE: Basilea Pharmaceutica AG, Binningen, Switzerland
PATENT INFORMATION: US 6489440 December 03, 2002
SOURCE:             Official Gazette of the United States Patent and Trademark
                    Office Patents, (Dec. 3, 2002) Vol. 1265, No. 1.
                    http://www.uspto.gov/web/menu/patdata.html. e-file.
                    ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE:      Patent
LANGUAGE:           English
ENTRY DATE:         Entered STN: 29 Jan 2003
                    Last Updated on STN: 29 Jan 2003
AB   The present invention relates to novel   ***Aerothricins***   represented
     by the Formula (I), ##STR1## wherein R1, R2, R3, R4, R5, X, Y, Z, and m
     are as defined in Claim 1; and pharmaceutically acceptable salts thereof.
     The present invention also relates to a pharmaceutical composition
     comprising an   ***Aerothricin***   of the Formula (I) and a
     pharmaceutically acceptable carrier.  Furthermore, the present invention
     relates to the use of such   ***Aerothricins***   for the preparation of
     medicaments, as well as to processes and intermediates for the preparation
     of the   ***Aerothricins***   of the Formula (I).

L13  ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2001:545715  CAPLUS
DOCUMENT NUMBER:          135:137714
TITLE:                    Preparation of   ***aerothricins***   , novel cyclic
                          compounds having antifungal activity
INVENTOR(S):                ***Kohchi, Masami***   ;   ***Masubuchi, Kazunao***
                          ;   ***Murata, Takeshi***   ;   ***Okada, Takehiro***
                          ;   ***Shimma, Nobuo***
PATENT ASSIGNEE(S):       Basilea Pharmaceutica A.-G., Switz.
SOURCE:                   PCT Int. Appl., 44 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ----------------  ----  --------      ----------------  --------
     WO 2001053322      A2   20010726      WO 2001-EP251     20010111
```

WO 2001053322 A3 20020131
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001025148	A5	20010731	AU 2001-25148	20010111	
EP 1254161	A2	20021106	EP 2001-900419	20010111	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001007609	A	20021119	BR 2001-7609	20010111	
JP 2003520804	T2	20030708	JP 2001-553794	20010111	
US 2001031728	A1	20011018	US 2001-760949	20010116	
PRIORITY APPLN. INFO.:			EP 2000-100807	A	20000117
			WO 2001-EP251	W	20010111

OTHER SOURCE(S): MARPAT 135:137714
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB ***Aerothricin*** derivs. I [R1 = N-(3-aminopropyl)-N-[(2S)-2,5-diaminovaleryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino, N-(3-aminopropyl)-N-[5-amino-2-[N-(3-aminopropyl)amino]valeryl]amino, N-(2-aminoethyl)-N-[5-amino-2-[N,N-bis(2-aminoethyl)amino]valeryl]amino or ornithylornithylamino; R2 = H, Me; R3 = H, OH] or pharmaceutically acceptable salts were prepd. for use as fungicides. Thus, ***aerothricin*** 3 (I; R1 = NH2, R2 = R3 = H), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions, was treated with acrylonitrile in MeOH in the presence of Et3N to give ***aerothricin*** 120 (I; R1 = NHCH2CH2CN, R2 = R3 = H). Coupling of ***aerothricin*** 120 with Boc-L-Orn(Boc)-OH (Boc = tert-butoxycarbonyl, Fmoc = 9-fluorenylmethoxycarbonyl) in DMF using BOP reagent, HOBT hydrate and N-ethyldiisopropylamine, followed by deprotection with TFA and hydrogenolysis over 10% Pd on charcoal, afforded ***aerothricin*** 132 [I; R1 = L-Orn-N[(CH2)3NH2], R2 = R3 = H]. The ***aerothricins*** of formula I exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized ***aerothricins*** are much less cytotoxic to hepatocytes than the known cyclic peptide derivs. WF11243 and LY303366.

L13 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545525 CAPLUS
DOCUMENT NUMBER: 135:157672
TITLE: Cyclic peptide compositions for nasal administration
INVENTOR(S): Horii, Ikuo; Kobayashi, Kazuko; ***Shimma, Nobuo*** ; Yanagawa, Akira
PATENT ASSIGNEE(S): Basilea Pharmaceutica A.-G., Switz.
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001052894	A2	20010726	WO 2001-EP163	20010109
WO 2001052894	A3	20020131		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1251827	A2	20021030	EP 2001-909587	20010109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001007764	A	20021112	BR 2001-7764		20010109
JP 2003535042	T2	20031125	JP 2001-552941		20010109
US 2001038824	A1	20011108	US 2001-765846		20010119
PRIORITY APPLN. INFO.:			EP 2000-101057	A	20000120
			WO 2001-EP163	W	20010109

OTHER SOURCE(S): MARPAT 135:157672

AB The present invention relates to a nasal compn. of physiol. active cyclic peptides and salts that are prepd. by homogeneously dispersing an active cyclic peptide such as antifungal cyclic peptides (***aerothricin*** , echinocandin analogs, pneumocandin analogs, and aureobasidin), antibacterial cyclic peptides (e.g., vancomycin, daptomycin), cyclosporin A, lanreotide, vapreotide, vasopressin antagonist and eptifibatide in a unique carrier. The powdery or cryst. carrier contains a water insol. polyvalent metal carrier, or org. carrier having a mean particle size of 20-500 .mu.m, in the presence or absence of an absorption enhancer and by homogeneously adsorbing onto the carrier, and its use for therapeutic treatment of disease such as systemic fungal infections by intranasal administration. The compn. can be nasally administered in a powder form. Thus, 201 mg ***Aerothricin*** 133 and 599 mg CaCO3 (mean particle size: 40-60 .mu.m) were mixed well. Then, 200 .mu.L water was added, and mixing was continued until the mixt. became a paste and the resulting pasty solid was freeze-dried at -50.degree., and further dried at 300.degree. for 3 h in vacuo. After large particles in the dry powder were broken into small particles, 8 mg of calcium stearate was added and the mixt. was passed through 180-.mu.m-mesh. ***Aerothricin*** 133 was synthesized by a series of steps.

L13 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2000:84834 CAPLUS
DOCUMENT NUMBER:	132:137733
TITLE:	Preparation of new antifungal agents, cyclic ***aerothricin*** analogs, for treatment of infectious diseases caused by pathogenic microorganisms
INVENTOR(S):	Aoki, Masahiro; ***Kohchi, Masami*** ; ***Masubuchi, Kazunao*** ; Mizuguchi, Eisaku; ***Murata, Takeshi*** ; Ohkuma, Hiroaki; ***Okada,*** *** Takehiro*** ; Sakaitani, Masahiro; ***Shimma,*** *** Nobuo*** ; Watanabe, Takahide; Yanagisawa, Mieko; Yasuda, Yuri
PATENT ASSIGNEE(S):	F. Hoffmann-La Roche Ag, Switz.
SOURCE:	PCT Int. Appl., 111 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005251	A1	20000203	WO 1999-EP5235	19990722
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335394	AA	20000203	CA 1999-2335394	19990722
AU 9951630	A1	20000214	AU 1999-51630	19990722
AU 754285	B2	20021114		
BR 9912367	A	20010502	BR 1999-12367	19990722
EP 1100816	A1	20010523	EP 1999-936588	19990722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525263	T2	20020813	JP 2000-561207	19990722
US 6489440	B1	20021203	US 1999-360476	19990723
PRIORITY APPLN. INFO.:			EP 1998-113744 A	19980723
			EP 1999-107637 A	19990416
			WO 1999-EP5235 W	19990722

OTHER SOURCE(S): MARPAT 132:137733

GI

/ Structure 1 in file .gra /

AB Novel antifungal ***aerothricins*** I [R1 = guanidino, trialkylammonio, NR10R11, NR15COR14, NR15COCH(NR10R11)R13 (Q), NHCOCHR13NHCOCH(NH2)R13, N[(CH2)nQ]2, N[(CH2)nQ][COCH(NR10R11)R13], or NR15COR12, where n = 2-5, R10, R11 = H, heteroaryl or mono- or diaminoheteroaryl, alkyl optionally substituted with one or more amino groups, aminoalkyl, cyano, guanidino, nitrogen-contg. heterocycle(s) or Ph group(s) contg. an amino, amidino or guanidino group, R12 is tetrahydro-2-pyrrolyl optionally substituted at N by R10 and by an amino group, R13 is a residue from natural or unnatural amino acids, R14 is alkyl substituted with one or more amino, guanidino, nitrogen contg. heterocycle or Ph group contg. an amino, amidino, or guanidino group, and R15 = H or R14-like group; R2 = H, HOSO2, alkyl or alkenyl optionally substituted with acyl, carbamoyl, amino, mono- or dialkylamino; R3 = H, OH, NO2, NH2, acylamino, (alkylcarbamoyl)amino, carboxyl, alkoxy, alkoxycarbonyl, (un)substituted alkyl, alkenyl, or alkynyl; R4 = alkyl, alkenyl, alkoxy or alkenyloxy optionally substituted with alkyl, aryl, cycloalkyl or F; R5 = CONH2, CN, CH2NH2; X is a single bond, aryl, biphenyl, terphenyl optionally contg. one or more heteroatom(s) and/or substituted with halo or alkyl; Y is a single bond, CH2, CH(alkyl), CONH, CON(alkyl); Z = O, NH, alkylamino; m = 0-4 (with provisos)] and pharmaceutically acceptable salts were prepd. Numerous processes for the prepn. of ***aerothricins*** of formula I are described. Thus, ***aerothricin*** 3 [I; R1 = NH2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me] (WF11243), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions in aq. medium, was treated with (2-oxoethyl)carbamic acid tert-Bu ester in MeOH in the presence of sodium cyanoborohydride and acetic acid to afford ***aerothricin*** 111 [I; R1 = N(CH2CH2NH2)2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me]. The ***aerothricins*** of formula I as well as pharmaceutically acceptable salts exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized ***aerothricins*** are less cytotoxic to hepatocytes than the known cyclic peptide derivs., e.g., WF11243.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 06:48:27 ON 06 JAN 2004)

FILE 'MEDLINE, CAPLUS, BIOSIS, EMBASE, SCISEARCH, AGRICOLA' ENTERED AT 06:48:49 ON 06 JAN 2004

L1	16	S AEROTHRICIN
L2	21346	S MYCOSES
L3	3	S L1 (P) L2
L4	1	DUPLICATE REMOVE L3 (2 DUPLICATES REMOVED)
L5	0	S KOHCHI MASUBUCHI/AU
L6	10	S KOHCHI MASAMI/AU
L7	18	S MASUBUCHI KAZUNAO/AU
L8	202	S MURATA TAKESHI/AU
L9	51	S OKADA TAKEHIRO/AU
L10	100	S SHIMMA NOBUO/AU
L11	340	S L6 OR L7 OR L8 OR L9 OR L10
L12	4	S L11 AND L1
L13	4	DUPLICATE REMOVE L12 (0 DUPLICATES REMOVED)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.49	32.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.77	-2.77

STN INTERNATIONAL LOGOFF AT 06:53:44 ON 06 JAN 2004